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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40
minutes
NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source
(CS) field
NEWS 4 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5 AUG 24 CA/CAPLUS enhanced with legal status information for
U.S. patents
NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in
CAS REGISTRY
NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM
thesaurus
NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and
Taiwanese Content Expanded
NEWS 9 OCT 21 Derwent World Patents Index enhanced with human
translated claims for Chinese Applications and
Utility Models
NEWS 10 OCT 27 Free display of legal status information in CA/CAPLUS,
USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:02:55 ON 08 NOV 2009

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.22

0.22

FILE 'REGISTRY' ENTERED AT 17:03:10 ON 08 NOV 2009
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DICTIONARY FILE UPDATES: 6 NOV 2009 HIGHEST RN 1191512-11-4

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=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>

Uploading C:\Program Files\Stnexp\Queries\10590064-1.str

L1 STRUCTURE UPLOADED

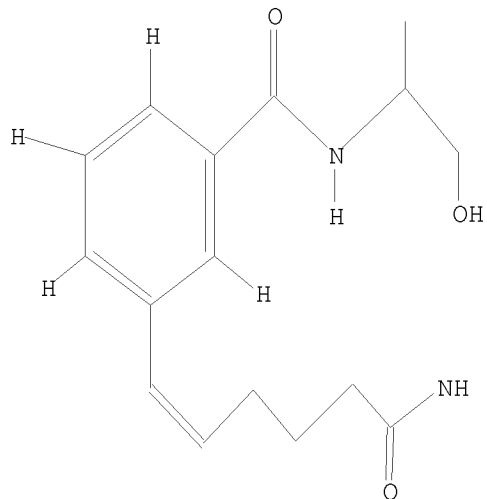
=> que L1

L2 QUE L1

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:03:52 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 17:04:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 159 TO ITERATE

100.0% PROCESSED 159 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L4 4 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.36	186.58

FILE 'CAPLUS' ENTERED AT 17:04:13 ON 08 NOV 2009

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FILE COVERS 1907 - 8 Nov 2009 VOL 151 ISS 20

FILE LAST UPDATED: 6 Nov 2009 (20091106/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate

substance identification.

During November, try the new LSUS format of legal status information in the CA/CAPLUS family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> s 14

L5 2 L4

=> d 15 ibib ab hitstr tot

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1230515 CAPLUS

DOCUMENT NUMBER: 148:69663

TITLE: Vascular pharmacology of a novel cannabinoid-like compound, 3-(5-dimethylcarbamoyl-pent-1-enyl)-N-(2-hydroxy-1-methyl-ethyl)benzamide (VSN16) in the rat

AUTHOR(S): Hoi, P. M.; Visintin, C.; Okuyama, M.; Gardiner, S. M.; Kaup, S. S.; Bennett, T.; Baker, D.; Selwood, D. L.; Hiley, C. R.

CORPORATE SOURCE: Department of Pharmacology, University of Cambridge, Cambridge, UK

SOURCE: British Journal of Pharmacology (2007), 152(5), 751-764

CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:69663

AB A putative novel cannabinoid receptor mediates vasorelaxation to anandamide and abnormal-cannabidiol and is blocked by O-1918 and by high concns. of rimonabant. This study investigates VSN16, a novel water-soluble agonist, as a vasorelaxant potentially acting at non-CB1, non-CB2 cannabinoid receptors in the vasculature. VSN16 and some analogs were synthesized and assayed for vasodilator activity in the rat third generation mesenteric artery using wire myog. Also carried out with VSN16 were hemodynamic studies in conscious rats and binding studies to CB1 receptors of rat cerebellum. VSN16 relaxed mesenteric arteries in an endothelium-dependent manner. The vasorelaxation was antagonized by high concns. of the classical cannabinoid antagonists, rimonabant and AM 251, as well as by O-1918, an antagonist at the abnormal-cannabidiol receptor but not at CB1 or CB2 receptors. It did not affect [3H]CP55,940 binding to CB1 receptors in rat cerebellum. The vasorelaxation was not pertussis toxin-sensitive but was reduced by inhibition of nitric oxide synthesis, Ca²⁺-sensitive K⁺ channels (KCa) and TRPV1 receptors. In conscious rats VSN16 transiently increased blood pressure and caused a longer-lasting increase in mesenteric vascular conductance. Structure-activity studies on vasorelaxation showed a stringent interaction with the target receptor. VSN16 is an agonist at a novel cannabinoid receptor of the vasculature. It acts on the endothelium to release nitric oxide and activate KCa and TRPV1. As it is water-soluble it might be useful in bringing about peripheral cannabinoid-like effects without accompanying central or severe cardiovascular responses.

IT 960132-68-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

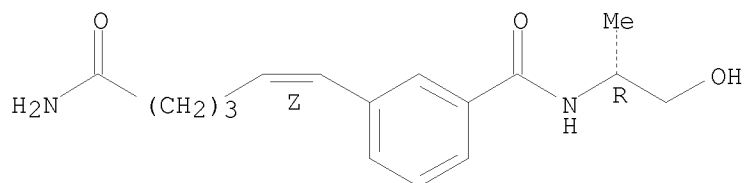
(VSN16R; preparation and vascular pharmacol. of cannabinoid-like compound, 3-(5-dimethylcarbamoyl-pent-1-enyl)-N-(2-hydroxy-1-Me-ethyl)benzamide (VSN16) in the rat)

RN 960132-68-7 CAPLUS

CN Benzamide, 3-[(1Z)-6-amino-6-oxo-1-hexen-1-yl]-N-[(1R)-2-hydroxy-1-

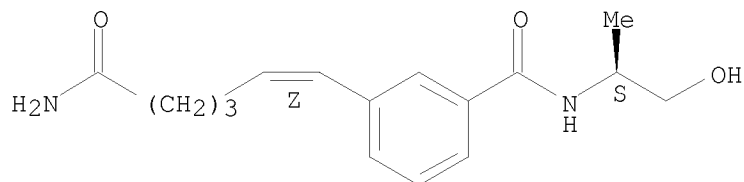
methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



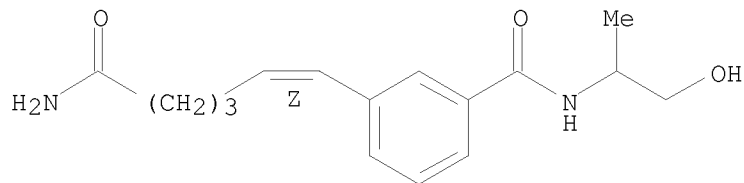
IT 960132-69-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(VSN16S; preparation and vascular pharmacol. of cannabinoid-like compound,
3-(5-dimethylcarbamoyl-pent-1-enyl)-N-(2-hydroxy-1-Me-ethyl)benzamide
(VSN16) in the rat)
RN 960132-69-8 CAPLUS
CN Benzamide, 3-[(1Z)-6-amino-6-oxo-1-hexen-1-yl]-N-[(1S)-2-hydroxy-1-
methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



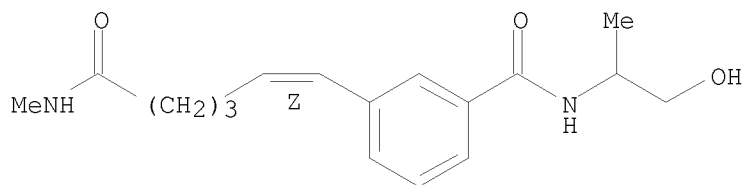
IT 863713-82-0P 863713-84-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and vascular pharmacol. of cannabinoid-like compound,
3-(5-dimethylcarbamoyl-pent-1-enyl)-N-(2-hydroxy-1-Me-ethyl)benzamide
(VSN16) in the rat)
RN 863713-82-0 CAPLUS
CN Benzamide, 3-[(1Z)-6-amino-6-oxo-1-hexen-1-yl]-N-(2-hydroxy-1-methylethyl)-
(CA INDEX NAME)

Double bond geometry as shown.



RN 863713-84-2 CAPLUS
CN Benzamide, N-(2-hydroxy-1-methylethyl)-3-[(1Z)-6-(methylamino)-6-oxo-1-
hexen-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962195 CAPLUS

DOCUMENT NUMBER: 143:266679

TITLE: Preparation of benzamide derivatives as cannabinoid
receptor modulators

INVENTOR(S): Okuyama, Masahiro; Selwood, David; Visintin, Cristina;
Baker, David; Pryce, Gareth

PATENT ASSIGNEE(S): University College London, UK

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080316	A2	20050901	WO 2005-GB605	20050221
WO 2005080316	A3	20051103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VC, VN, YU, ZA, ZM, ZW,			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005214146	A1	20050901	AU 2005-214146	20050221
CA 2556940	A1	20050901	CA 2005-2556940	20050221
EP 1745011	A2	20070124	EP 2005-708399	20050221
EP 1745011	B1	20090930		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 1956946	A	20070502	CN 2005-80012480	20050221
BR 2005007914	A	20070710	BR 2005-7914	20050221
JP 2007523150	T	20070816	JP 2006-553673	20050221
AT 444279	T	20091015	AT 2005-708399	20050221
MX 2006009433	A	20070321	MX 2006-9433	20060818
IN 2006DN04772	A	20070831	IN 2006-DN4772	20060821
NO 2006004227	A	20061116	NO 2006-4227	20060919
US 20080114062	A1	20080515	US 2007-590064	20071002
PRIORITY APPLN. INFO.:			GB 2004-3864	A 20040220
			WO 2005-GB605	W 20050221
OTHER SOURCE(S):			CASREACT 143:266679; MARPAT 143:266679	

AB Title compds. I [wherein Z = OR1 or NR1R2; R1, R2 = H or hydrocarbyl group; X = (un)substituted alkylene, alkenylene or alkynylene; Y = OH, NO2, CN, etc.; A = (un)substituted aryl or heteroaryl; B = (CH2)n; n = 0-5, with some limitations, or pharmaceutically acceptable salts thereof] were prepared as cannabinoid receptor modulators. For instance, synthesis of II was achieved from 3-iodobenzoic acid via (1) EDCI-mediated condensation with alaninol to an amide (34%), (2) Pd-catalyzed Songashira coupling of the resultant iodide with 5-hexynoic acid to a phenylacetylene (99%), (3) amidation with Me2NH·HCl (96%), and (4) Lindlar hydrogenation. A number of biol. assays were performed, and some results were graphed and discussed. II was demonstrated to be an agonist toward the CB1 receptor with an IC50 of .apprx. 0.1 nM, vs. .apprx. 5 nM for reference (R)-Win 55212. Therefore, I and their pharmaceutical compns. are potentially useful for the treatment of muscular and gastrointestinal disorders, or for controlling spasticity or tremors.

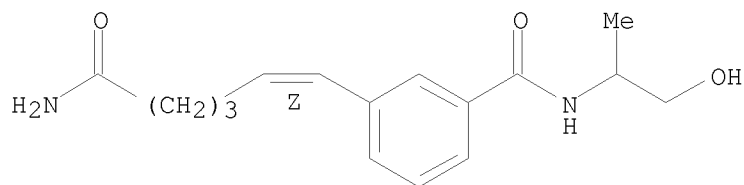
IT 863713-82-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(modulator; preparation of benzamide derivs. as cannabinoid receptor modulators)

RN 863713-82-0 CAPLUS

CN Benzamide, 3-[(1Z)-6-amino-6-oxo-1-hexen-1-yl]-N-(2-hydroxy-1-methylethyl)-
(CA INDEX NAME)

Double bond geometry as shown.



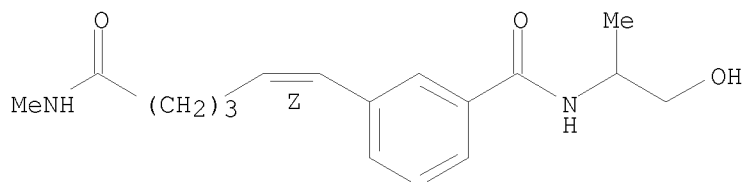
IT 863713-84-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulator; preparation of benzamide derivs. as cannabinoid receptor modulators)

RN 863713-84-2 CAPLUS

CN Benzamide, N-(2-hydroxy-1-methylethyl)-3-[(1Z)-6-(methylamino)-6-oxo-1-hexen-1-yl]-
(CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	13.78	200.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.64	-1.64

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=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

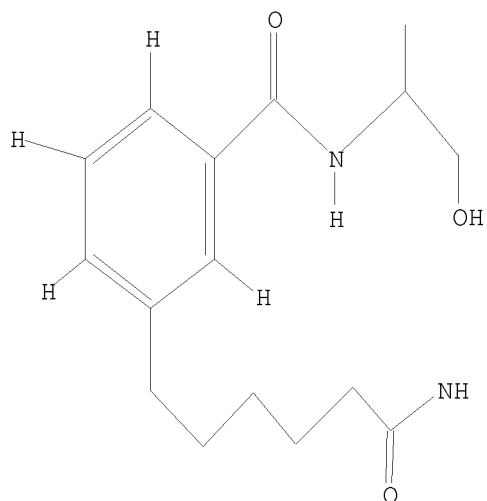
=>
 Uploading C:\Program Files\Stnexp\Queries\10590064-2.str

L6 STRUCTURE UPLOADED

=> que L6

L7 QUE L6

=> d 17
 L7 HAS NO ANSWERS
 L6 STR



Structure attributes must be viewed using STN Express query preparation.
 L7 QUE ABB=ON PLU=ON L6

=> s 17

SAMPLE SEARCH INITIATED 17:07:35 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 119 TO ITERATE

100.0% PROCESSED 119 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 1726 TO 3034
 PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L6

=> s 17 sss full

FULL SEARCH INITIATED 17:07:46 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 2564 TO ITERATE

100.0% PROCESSED 2564 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

L9 0 SEA SSS FUL L6

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	190.20	390.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.64

FILE 'REGISTRY' ENTERED AT 17:13:16 ON 08 NOV 2009
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DICTIONARY FILE UPDATES: 6 NOV 2009 HIGHEST RN 1191512-11-4

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>

Uploading C:\Program Files\Stnexp\Queries\10590064-3.str

L10 STRUCTURE UPLOADED

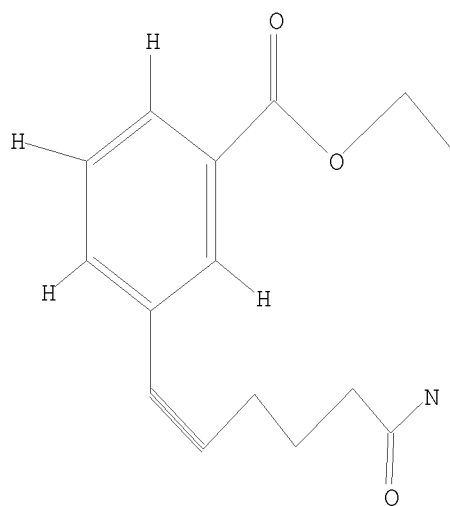
=> que L10

L11 QUE L10

=> d l11

L11 HAS NO ANSWERS

L10 STR



Structure attributes must be viewed using STN Express query preparation.

L11 QUE ABB=ON PLU=ON L10

=> s l11

SAMPLE SEARCH INITIATED 17:13:44 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

 BATCH **COMPLETE**

PROJECTED ITERATIONS: 56 TO 504

PROJECTED ANSWERS: 0 TO 0

L12 0 SEA SSS SAM L10

=> s l11 sss full

FULL SEARCH INITIATED 17:13:52 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 222 TO ITERATE

100.0% PROCESSED 222 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L13 1 SEA SSS FUL L10

=> d l13 ibib ab hitstr

'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

'AB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

'HITSTR' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual fields or predefined formats. The predefined substance formats are: (RN = CAS Registry Number)

REG - RN

SAM - Index Name, MF, and structure - no RN

FIDE - All substance data, except sequence data

IDE - FIDE, but only 50 names

SQIDE - IDE, plus sequence data

SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used

SQD - Protein sequence data, includes RN

SQD3 - Same as SQD, but 3-letter amino acid codes are used

SQN - Protein sequence name information, includes RN

EPROP - Table of experimental properties

PPROP - Table of predicted properties

PROP - EPROP, ETAG, PPROP and SPEC

Any CA File format may be combined with any substance format to obtain CA references citing the substance. The substance formats must be cited first. The CA File predefined formats are:

ABS -- Abstract

APPS -- Application and Priority Information

BIB -- CA Accession Number, plus Bibliographic Data

CAN -- CA Accession Number

CBIB -- CA Accession Number, plus Bibliographic Data (compressed)

IND -- Index Data

IPC -- International Patent Classification
 PATS -- PI, SO
 STD -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
 IBIB -- BIB, indented, with text labels
 ISTD -- STD format, indented

OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when it is available.
 The MAX format is the same as ALL.
 The IALL format is the same as ALL with BIB ABS and IND indented, with text labels.

For additional information, please consult the following help messages:

HELP DFIELDS -- To see a complete list of individual display fields.
 HELP FORMATS -- To see detailed descriptions of the predefined formats.
 ENTER DISPLAY FORMAT (IDE):end

```
=> file caplus
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          186.36      576.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY      SESSION
CA SUBSCRIBER PRICE          0.00      -1.64
```

FILE 'CAPLUS' ENTERED AT 17:14:32 ON 08 NOV 2009
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FILE COVERS 1907 - 8 Nov 2009 VOL 151 ISS 20
 FILE LAST UPDATED: 6 Nov 2009 (20091106/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAPLUS family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> s 113

L14 1 L13

=> d 114 ibib ab hitstr

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962195 CAPLUS

DOCUMENT NUMBER: 143:266679

TITLE: Preparation of benzamide derivatives as cannabinoid receptor modulators

INVENTOR(S): Okuyama, Masahiro; Selwood, David; Visintin, Cristina; Baker, David; Pryce, Gareth

PATENT ASSIGNEE(S): University College London, UK

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080316	A2	20050901	WO 2005-GB605	20050221
WO 2005080316	A3	20051103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2005214146	A1	20050901	AU 2005-214146	20050221
CA 2556940	A1	20050901	CA 2005-2556940	20050221
EP 1745011	A2	20070124	EP 2005-708399	20050221
EP 1745011	B1	20090930		
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CN 1956946	A	20070502	CN 2005-80012480	20050221
BR 2005007914	A	20070710	BR 2005-7914	20050221
JP 2007523150	T	20070816	JP 2006-553673	20050221
AT 444279	T	20091015	AT 2005-708399	20050221
MX 2006009433	A	20070321	MX 2006-9433	20060818
IN 2006DN04772	A	20070831	IN 2006-DN4772	20060821
NO 2006004227	A	20061116	NO 2006-4227	20060919
US 20080114062	A1	20080515	US 2007-590064	20071002
PRIORITY APPLN. INFO.:			GB 2004-3864	A 20040220

OTHER SOURCE(S):

CASREACT 143:266679; MARPAT 143:266679

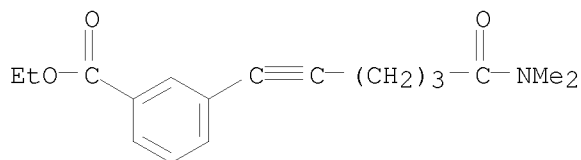
AB Title compds. I [wherein Z = OR1 or NR1R2; R1, R2 = H or hydrocarbyl group; X = (un)substituted alkylene, alkenylene or alkynylene; Y = OH, NO2, CN, etc.; A = (un)substituted aryl or heteroaryl; B = (CH2)n; n = 0-5, with some limitations, or pharmaceutically acceptable salts thereof] were prepared as cannabinoid receptor modulators. For instance, synthesis of II was achieved from 3-iodobenzoic acid via (1) EDCI-mediated condensation with alaninol to an amide (34%), (2) Pd-catalyzed Songashira coupling of the resultant iodide with 5-hexynoic acid to a phenylacetylene (99%), (3) amidation with Me2NH·HCl (96%), and (4) Lindlar hydrogenation. A number of biol. assays were performed, and some results were graphed and discussed. II was demonstrated to be an agonist toward the CB1 receptor with an IC50 of .apprx. 0.1 nM, vs. .apprx. 5 nM for reference (R)-Win 55212. Therefore, I and their pharmaceutical compns. are potentially useful for the treatment of muscular and gastrointestinal disorders, or for controlling spasticity or tremors.

IT 863713-71-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(modulator; preparation of benzamide derivs. as cannabinoid receptor modulators)

RN 863713-71-7 CAPLUS

CN Benzoic acid, 3-[6-(dimethylamino)-6-oxo-1-hexyn-1-yl]-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT